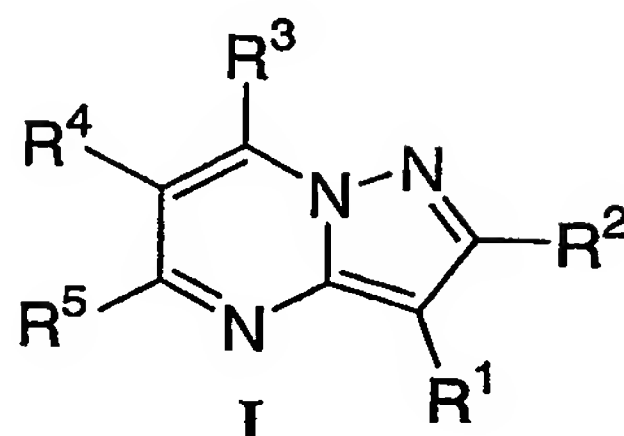


## WHAT IS CLAIMED IS:

1. A compound of Formula I



5 wherein

a and b are independently 0 or 1;

m is independently 0, 1 or 2;

10 R<sup>1</sup> is:

- 1) C<sub>2</sub>-C<sub>6</sub> alkenyl,
- 2) C<sub>2</sub>-C<sub>6</sub> alkynyl,
- 3) C<sub>1</sub>-C<sub>8</sub> alkyl,
- 4) halo
- 15 5) CN,
- 6) (C=O)NR<sup>a</sup>R<sup>b</sup>,
- 7) (C=O)R<sup>c</sup>,
- 8) (C=O)OR<sup>c</sup>, or
- 9) heterocyclyl, said heterocyclyl is substituted with at least one substituent selected from:
  - a) C<sub>0</sub>-C<sub>6</sub> alkyl-(C=O)NR<sup>a</sup>R<sup>b</sup>,
  - b) C<sub>0</sub>-C<sub>6</sub> alkyl-SO<sub>m</sub>R<sup>d</sup>,
  - c) C<sub>0</sub>-C<sub>6</sub> alkyl-CO<sub>2</sub>R<sup>c</sup>,
  - d) C<sub>1</sub>-C<sub>6</sub> alkyl-OR<sup>c</sup>,
  - 25 e) C<sub>1</sub>-C<sub>6</sub> alkyl-NR<sup>a</sup>R<sup>b</sup>, and
  - f) C<sub>0</sub>-C<sub>6</sub> alkyl-(C=O)-C<sub>0</sub>-C<sub>6</sub> alkyl-OR<sup>c</sup>;

R<sup>2</sup> is:

- 1) H,
- 30 2) C<sub>1</sub>-C<sub>8</sub> alkyl,

- 3) C<sub>0</sub>-C<sub>6</sub> alkyl-C≡C-R<sup>a</sup>,
- 4) C<sub>0</sub>-C<sub>6</sub> alkyl-CR<sup>a</sup>=C(R<sup>a</sup>)<sub>2</sub>,
- 5) C<sub>0</sub>-C<sub>6</sub> alkyl-C<sub>1</sub>-C<sub>3</sub>-cycloalkenyl,
- 6) C<sub>1</sub>-C<sub>6</sub> alkyl-aryl,
- 7) COR<sup>c</sup>,
- 8) CO<sub>2</sub>R<sup>c</sup>,
- 9) C<sub>0</sub>-C<sub>6</sub> alkyl-N(R<sup>a</sup>)<sub>2</sub>,
- 10) heterocyclyl,
- 11) halo,
- 12) N(R<sup>a</sup>)<sub>2</sub>,
- 13) OR<sup>c</sup>,
- 14) NO<sub>2</sub>, or
- 15) S(O)<sub>m</sub>R<sup>d</sup>,

Said alkyl, heterocyclyl and cycloalkenyl is optionally substituted with at least one substituent selected from R<sup>b</sup>,

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from:

- 1) H, provided R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are not all H at the same time,
- 2) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>1</sub>-C<sub>10</sub> alkyl,
- 3) halo,
- 4) aryl,
- 5) heterocyclyl,
- 6) NO<sub>2</sub>,
- 7) OR<sup>c</sup>,
- 8) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>1</sub>-C<sub>6</sub> alkyl-N(R<sup>a</sup>)<sub>2</sub>,
- 9) (C=O)<sub>a</sub>N(R<sup>a</sup>)<sub>2</sub>, wherein a is 0 or 1,
- 10) S(O)<sub>m</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl-N(R<sup>a</sup>)<sub>2</sub>, and
- 11) C<sub>1</sub>-C<sub>6</sub> alkyl-(C=O)N(R<sup>a</sup>)<sub>2</sub>,

Said alkyl, aryl and heterocyclyl are optionally substituted with at least one substituent selected from R<sup>b</sup>;

R<sup>a</sup> and R<sup>b</sup> independently are independently selected from:

- 1) H,
- 2) C<sub>1</sub>-C<sub>6</sub> alkyl,
- 3) C<sub>2</sub>-C<sub>6</sub> alkenyl,

- 5
- 4) C<sub>2</sub>-C<sub>6</sub> alkynyl,
  - 5) C<sub>3</sub>-C<sub>10</sub> cycloalkyl,
  - 6) aryl,
  - 7) heterocyclyl,
  - 8) C<sub>0</sub>-C<sub>6</sub> alkyl-(C=O)NR<sup>a</sup>R<sup>b</sup>,
  - 9) C<sub>0</sub>-C<sub>6</sub> alkyl-SO<sub>m</sub>R<sup>d</sup>,
  - 10) C<sub>0</sub>-C<sub>6</sub> alkyl-CO<sub>2</sub>R<sup>c</sup>,
  - 11) C<sub>0</sub>-C<sub>6</sub> alkyl-OR<sup>c</sup>,
  - 12) C<sub>0</sub>-C<sub>6</sub> alkyl-NR<sup>a</sup>R<sup>b</sup>, and
  - 10 13) C<sub>0</sub>-C<sub>6</sub> alkyl-(C=O)-C<sub>0</sub>-C<sub>6</sub> alkyl-OR<sup>c</sup>,

Said alkyl, aryl and heterocyclyl are optionally substituted with at least one substituent selected from R<sup>d</sup>,

R<sup>c</sup> independently is:

- 15
- 1) H,
  - 2) Unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl,
  - 3) Unsubstituted or substituted C<sub>2</sub>-C<sub>6</sub> alkenyl,
  - 4) Unsubstituted or substituted C<sub>2</sub>-C<sub>6</sub> alkynyl,
  - 5) Unsubstituted or substituted C<sub>3</sub>-C<sub>10</sub> cycloalkyl,
  - 20 6) Unsubstituted or substituted aryl, or
  - 7) Unsubstituted or substituted heterocyclyl;

R<sup>d</sup> independently is:

- 25
- 1) Unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl,
  - 2) Unsubstituted or substituted C<sub>2</sub>-C<sub>6</sub> alkenyl,
  - 3) Unsubstituted or substituted C<sub>2</sub>-C<sub>6</sub> alkynyl,
  - 4) Unsubstituted or substituted C<sub>3</sub>-C<sub>10</sub> cycloalkyl,
  - 5) Unsubstituted or substituted aryl, or
  - 30 6) Unsubstituted or substituted heterocyclyl;

or a pharmaceutically acceptable salt or stereoisomer thereof.

2. The compound of Claim 1, wherein

R<sup>2</sup> is:

- 35
- 1) H,

- 2) C<sub>1</sub>-C<sub>8</sub> alkyl,
- 3) C<sub>0</sub>-C<sub>6</sub> alkyl-C $\equiv$  C-R<sub>a</sub>,
- 4) C<sub>0</sub>-C<sub>6</sub> alkyl-CR<sub>a</sub>=C(R<sub>a</sub>)<sub>2</sub>,
- 5) C<sub>0</sub>-C<sub>6</sub> alkyl-C<sub>1</sub>-C<sub>3</sub>-cycloalkenyl,
- 6) COR<sub>c</sub>,
- 7) CO<sub>2</sub>R<sub>c</sub>,
- 8) C<sub>0</sub>-C<sub>6</sub> alkyl-N(R<sub>a</sub>)<sub>2</sub>,
- 9) halo, or
- 10) OR<sub>c</sub>;

Said alkyl and cycloalkenyl is optionally substituted with at least one substituent selected from R<sub>b</sub>,

R<sup>3</sup> and R<sup>5</sup> are independently selected from:

- 1) H,
- 2) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>1</sub>-C<sub>10</sub> alkyl,
- 3) halo,
- 4) NO<sub>2</sub>,
- 5) OR<sub>c</sub>, and
- 6) C<sub>1</sub>-C<sub>6</sub> alkyl-(C=O)N(R<sub>a</sub>)<sub>2</sub>

Said alkyl is optionally substituted with at least one substituent selected from R<sub>b</sub>,

or a pharmaceutically acceptable salt or stereoisomer thereof.

3. The compound of Claim 2, wherein

R<sup>4</sup> is aryl, which is optionally substituted with at least one substituent selected from R<sub>b</sub>,

or a pharmaceutically acceptable salt or stereoisomer thereof.

4. The compound of Claim 1, wherein:

R<sup>2</sup> is:

- 1) H, or
- 2) C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>3</sup> and R<sup>5</sup> are independently selected from:

- 1) H,
- 2)  $(C=O)_aO_bC_1-C_{10}$  alkyl,
- 3) halo, and
- 4)  $OR^c$ ,

5 Said alkyl is optionally substituted with at least one substituent selected from  $R^b$ ,

or a pharmaceutically acceptable salt or stereoisomer thereof.

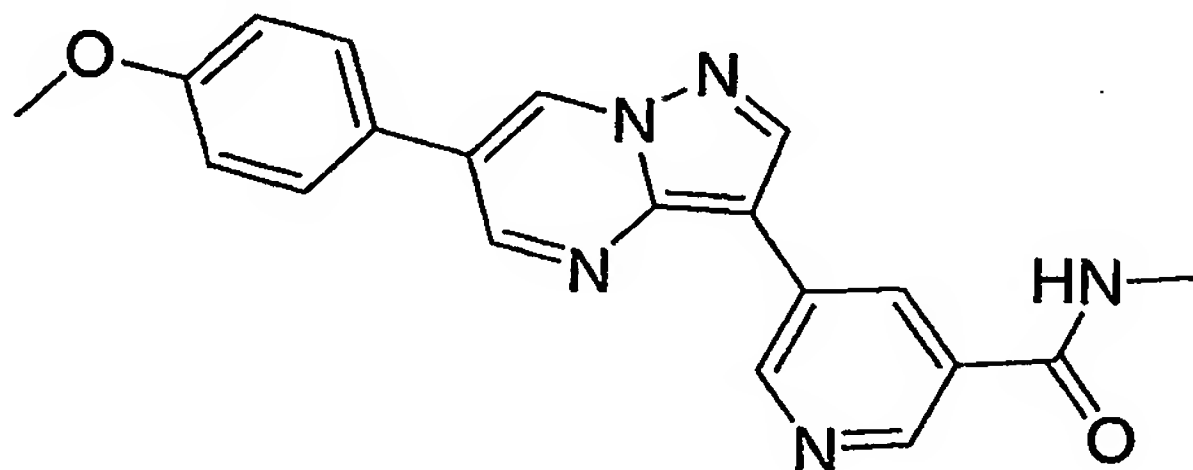
5. A compound selected from:

- 10 6-(4-methoxy-phenyl)-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester;
- 6-(4-methoxy-phenyl)-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid;
- 6-(4-methoxy-phenyl)-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid amide;
- 6-(4-methoxy-phenyl)-pyrazolo[1,5-a]pyrimidine-3-carbonitrile;
- 15 ethyl 5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]nicotinate;
- 5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-methylnicotinamide;
- N-ethyl-5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]nicotinamide;
- N-cyclopropyl-5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]nicotinamide;
- 5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-propylnicotinamide;
- 20 5-[6-(3-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-methylnicotinamide;
- N-ethyl-5-[6-(3-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]nicotinamide;
- 5-[6-(3-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-propylnicotinamide;
- N-cyclopropyl-5-(6-pyridin-4-ylpyrazolo[1,5-a]pyrimidin-3-yl)nicotinamide;
- 25 N-propyl-5-(6-pyridin-4-ylpyrazolo[1,5-a]pyrimidin-3-yl)nicotinamide;

or a pharmaceutically acceptable salt or stereoisomer thereof.

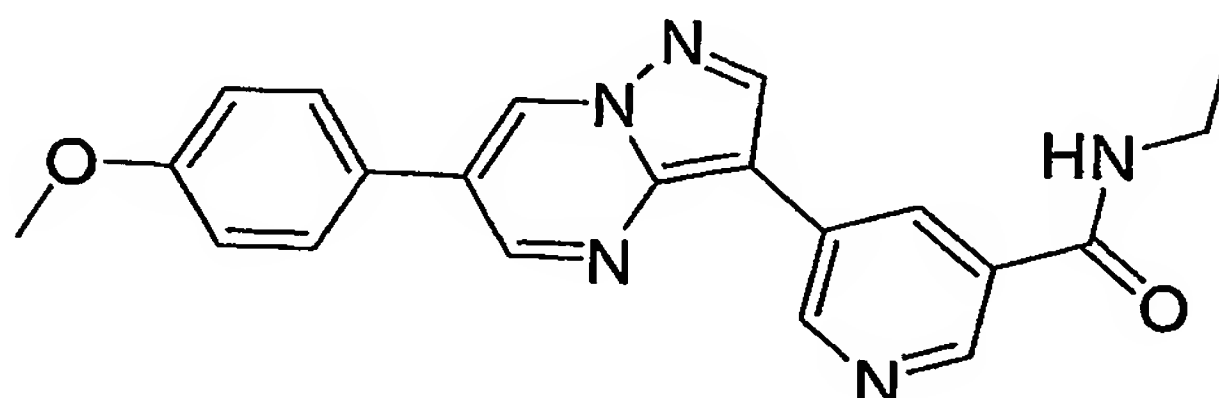
6. The compound according to Claim 5 selected from:

5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-N-methylnicotinamide



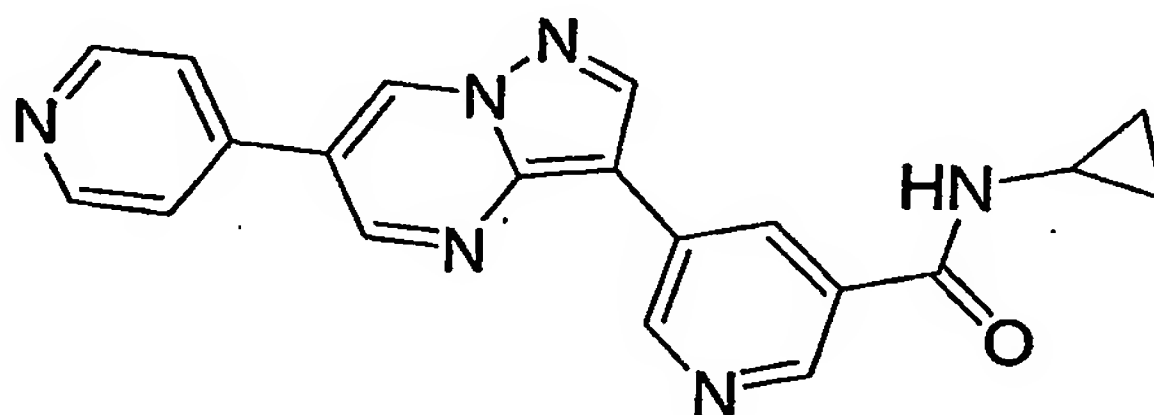
or a pharmaceutically acceptable salt or stereoisomer thereof.

7. The compound according to Claim 5 selected from:  
N-ethyl-5-[6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]nicotinamide



or a pharmaceutically acceptable salt or stereoisomer thereof.

8. The compound according to Claim 5 selected from:  
N-cyclopropyl-5-(6-pyridin-4-ylpyrazolo [1,5-a]pyrimidin-3-yl)nicotinamide



or a pharmaceutically acceptable salt or stereoisomer thereof.

9. A pharmaceutical composition which is comprised of a compound in accordance with Claim 1 and a pharmaceutically acceptable carrier.

10. A method of treating or preventing cancer in a mammal in need of such treatment which is comprised of administering to said mammal a therapeutically effective amount of a compound of Claim 1.

11. A method of treating cancer or preventing cancer in accordance with Claim 10 wherein the cancer is selected from cancers of the brain, genitourinary tract, lymphatic system, stomach, larynx and lung.

12. A method of treating or preventing cancer in accordance with Claim 10 wherein the cancer is selected from histiocytic lymphoma, lung adenocarcinoma, small cell lung cancers, pancreatic cancer, glioblastomas and breast carcinoma.

13. A method of treating or preventing a disease in which angiogenesis is implicated, which is comprised of administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

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14. A method in accordance with Claim 13 wherein the disease is an ocular disease.

15. A method of treating or preventing retinal vascularization which is comprised of administering to a mammal in need of such treatment a therapeutically effective amount of compound of Claim 1.

10

16. A method of treating or preventing diabetic retinopathy which is comprised of administering to a mammal in need of such treatment a therapeutically effective amount of compound of Claim 1.

15

17. A method of treating or preventing age-related macular degeneration which is comprised of administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

20

18. A method of treating or preventing macular edema which is comprised of administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

25

19. A method of treating or preventing retinal ischemia which is comprised of administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

20. A method of treating or preventing inflammatory diseases which comprises administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

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21. A method according to Claim 20 wherein the inflammatory disease is selected from rheumatoid arthritis, psoriasis, contact dermatitis and delayed hypersensitivity reactions.

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22. A method of treating or preventing a tyrosine kinase-dependent disease or condition which comprises administering a therapeutically effective amount of a compound of Claim 1.

5 23. A pharmaceutical composition made by combining the compound of Claim 1 and a pharmaceutically acceptable carrier.

24. A process for making a pharmaceutical composition which comprises combining a compound of Claim 1 with a pharmaceutically acceptable carrier.

10 25. A method of treating or preventing bone associated pathologies selected from osteosarcoma, osteoarthritis, and rickets which comprises administering a therapeutically effective amount of a compound of Claim 1.

15 26. The composition of Claim 9 further comprising a second compound selected from:

- 20 1) an estrogen receptor modulator,  
2) an androgen receptor modulator,  
3) retinoid receptor modulator,  
4) a cytotoxic agent,  
5) an antiproliferative agent,  
6) a prenyl-protein transferase inhibitor,  
7) an HMG-CoA reductase inhibitor,  
8) an HIV protease inhibitor,  
25 9) a reverse transcriptase inhibitor,  
10) an angiogenesis inhibitor, and  
11) a PPAR- $\gamma$  agonist, and  
12) PPAR- $\delta$  agonists.

30 27. The composition of Claim 26, wherein the second compound is another angiogenesis inhibitor selected from the group consisting of a tyrosine kinase inhibitor, an inhibitor of epidermal-derived growth factor, an inhibitor of fibroblast-derived growth factor, an inhibitor of platelet derived growth factor, an MMP inhibitor, an integrin blocker, interferon- $\alpha$ , interleukin-12, pentosan polysulfate, a cyclooxygenase inhibitor, carboxyamidotriazole,  
35 combretastatin A-4, squalamine,



6-O-chloroacetyl-carbonyl)-fumagillol, thalidomide, angiostatin, troponin-1, and an antibody to VEGF.

5 28. The composition of Claim 26, wherein the second compound is an estrogen receptor modulator selected from tamoxifen and raloxifene.

10 29. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy.

30. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a compound selected from:

- 15 1) an estrogen receptor modulator,  
2) an androgen receptor modulator,  
3) retinoid receptor modulator,  
4) a cytotoxic agent,  
5) an antiproliferative agent,  
20 6) a prenyl-protein transferase inhibitor,  
7) an HMG-CoA reductase inhibitor,  
8) an HIV protease inhibitor,  
9) a reverse transcriptase inhibitor,  
10) an angiogenesis inhibitor,  
25 11) PPAR- $\gamma$  agonists,  
12) PPAR- $\delta$  agonists,  
13) an inhibitor of inherent multidrug resistance,  
14) an anti-emetic agent,  
15) an agent useful in the treatment of anemia,  
30 16) agent useful in the treatment of neutropenia, and  
17) an immunologic-enhancing drug.

31. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy and a compound selected from:

- 35 1) an estrogen receptor modulator,

- 2) an androgen receptor modulator,
- 3) retinoid receptor modulator,
- 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,
- 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor,
- 11) PPAR- $\gamma$  agonists,
- 12) PPAR- $\delta$  agonists,
- 13) an inhibitor of inherent multidrug resistance,
- 14) an anti-emetic agent,
- 15) an agent useful in the treatment of anemia,
- 16) agent useful in the treatment of neutropenia, and
- 17) an immunologic-enhancing drug.

32. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 and paclitaxel or trastuzumab.

33. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 and a GPIIb/IIIa antagonist.

34. The method of Claim 33 wherein the GPIIb/IIIa antagonist is tirofiban.

35. A method of reducing or preventing tissue damage following a cerebral ischemic event which comprises administering a therapeutically effective amount of a compound of Claim 1.

36. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a COX-2 inhibitor.